(11) (A) No. 1046063

(45) ISSUED 790109

(52) CLASS 260-254
C.R. CL. 260-242.5

(51) INT. CL. [2] C07D 239/82

(19) (CA) **CANADIAN PATENT** (12)

(54) PROCESS FOR PREPARING 2(1H)-QUINAZOLINONE DERIVATIVES

(70) Yamamoto, Michihiro; Morooka, Shigeaki;
Koshiba, Masao; Inaba, Shigeho and
Yamamoto, Hisao, Japan

Granted to Sumitomo Chemical Company, Limited,
Japan

(21) APPLICATION No. 231,296

(22) FILED 750711

(30) PRIORITY DATE Japan (80506/74) 740713

No. OF CLAIMS 4 - No drawing

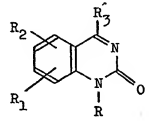
A NOVEL PROCESS FOR PREPARING 2(1H)-QUINAZOLINONE DERIVATIVES

ABSTRACT OF THE DISCLOSURE

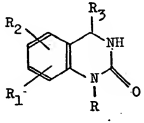
2(1H)-Quinazolinone derivatives such as 1-cyclopropylmethyl-4-phenyl-6-chloro-2(1H)-quinazolinone are prepared in high yield with high purity by reacting the corresponding 3,4-dihydro-2(1H)-quinazolinone derivative with chlorine or bromine in the presence or absence of an alkali in an inert solvent.

THE EMBODIMENTS OF THE INVENTION IN WHICH AN EXCLUSIVE PROPERTY OR PRIVILEGE IS CLAIMED ARE DEFINED AS FOLLOWS:

1. A process for preparing a compound of the formula,



wherein R_1 and R_2 are individually hydrogen, halogen, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfonyl, nitro, trifluoromethyl, di-lower alkylamino, or R_1 and R_2 together may form methylenedioxy; R_3 is phenyl, halophenyl, nitrophenyl, lower alkylphenyl, lower alkoxyphenyl or pyridyl; and R is lower alkyl, lower cycloalkyl, lower cycloalkyl-lower-alkyl, aralkyl, lower alkoxy-lower alkyl or lower haloalkyl; or a pharmaceutically acceptable acid addition salt thereof, which comprises reacting a compound of the formula,



wherein R, R_1, R_2 and R_3 are as defined above, with chlorine or bromine in the presence or absence of an alkali in an inert solvent.

2. A process according to Claim 1, wherein the

reaction is carried out at a temperature within a range of from room temperature to a boiling point of the solvent employed.

3. A process according to Claim 1, wherein the inert solvent is selected from the group consisting of methanol, ethanol, n-propanol, isopropanol, n-butanol, tert-butanol, methoxyethanol, ethoxyethanol, tetrahydrofuran, dioxane, water, chloroform, carbon tetrachloride, 1,2-dichloroethane, 1,1,1-trichloroethane, benzene, toluene and a mixture thereof.

4. A process according to Claim 1, wherein the amount of chlorine or bromine is at least equimolar to the 3,4-dihydro-2(1H)-quinazolinone.

SUBSTITUTE

REMPLACEMENT

SECTION is not Present

Cette Section est Absente